CLAIMS

- 1. A method for identifying a compound that binds to a target, the method comprising:
 a) forming a first library comprising a multiplicity of peptides:
 - b) selecting from the first library at least one peptide that binds to the target;
- determining the sequence or sequences of the at least one peptide that binds to the target, thereby generating a peptide motif;
- d) forming a second library comprising a multiplicity of non-peptide compounds designed based on the peptide motif;
- e) selecting from the second library at least one non-peptide compound that binds to the target; and
- f) determining the structure or structures of the at least one non-peptide compound that binds to the target;

thereby identifying a compound that binds to the target.

- 2. The method of claim 1, wherein the first library is a phage display library.
- 3. The method of claim 1, wherein the first library is bound to a solid-support.
- 4. The method of claim 1, wherein the first library is an anchor library.
- 5. The method of claim 1, wherein the first library comprises at least about 106 peptides.
- 6. The method of claim 1, wherein the first library comprises at least about 109 peptides.
- 7. The method of claim 1, wherein the first library comprises at least about 10¹² peptides.
- 8. The method of claim 1, wherein step c) comprises determining the nucleotide sequence of a nucleic acid molecule or molecules that encode the at least one peptide.
 - 9. The method of claim 1, wherein step c) comprises determining the amino acid sequence or sequences of the at least one peptide.
 - 10. The method of claim 1, wherein the second library comprises at least one peptide derivative.
 - 11. The method of claim 1, wherein the second library comprises at least one peptide analogue.

5

10

20

ijΠ

25

30

- 12. The method of claim 1, wherein the second library comprises at least one peptidomimetic.
- The method of claim 1, wherein the second library comprises at least about 10² non-peptide compounds.
 - 14. The method of claim 1, wherein the second library comprises at least about 10⁴ non-peptide compounds.
 - 15. The method of claim 1, wherein the second library comprises at least about 106 non-peptide compounds.
 - 16. The method of claim 1, wherein step f) comprises analyzing the at least one non-peptide compound by a mass spectrometric method.
 - 17. The method of claim 16, wherein the mass spectrometric method comprises tandem mass spectrometry.
 - 18. The method of claim 1, wherein the compound that binds to a target has a binding affinity for the target of at least about 10⁻⁷ M.
 - 19. The method of claim 1, wherein the compound that binds to a target has a binding affinity for the target of at least about 10-8 M.
 - 20. The method of claim 1, wherein the compound that binds to a target has a binding affinity for the target of at least about 10-9 M.
 - 21. The method of claim 1, further comprising:

10

25

- g) forming a third library comprising a multiplicity of non-peptide compounds designed based on the structure or structures of the non-peptide compound or compounds determined in step f);
 - h) selecting from the third library at least one non-peptide compound that binds to the target; and
- i) determining the structure of the at least one non-peptide compound selected in step h);

thereby identifying a compound that binds to the target.

22. A method for identifying a compound that binds to a target, the method comprising:

- a) forming a first library comprising a multiplicity of peptides displayed on the surface of a bacteriophage;
 - b) selecting from the first library at least one peptide that binds to the target;
- c) determining the sequence or sequences of the at least one peptide that binds to the target, thereby generating a peptide motif;
- d) forming a second library comprising a multiplicity of non-peptide compounds designed based on the peptide motif;
- e) selecting from the second library at least one non-peptide compound that binds to the target; and
- f) determining the structure or structures of the at least one non-peptide compound that binds to the target by tandem mass spectrometry;

thereby identifying a compound that binds to the target.

- A method for identifying a compound that binds to a target, the method comprising:
- a) forming a first library comprising an anchor library of a multiplicity of peptides;
- b) selecting from the first library at least one peptide that binds to the target;
- c) determining the sequence or sequences of the at least one peptide that binds to the target, thereby generating a peptide motif;
- d) forming a second library comprising a multiplicity of non-peptide compounds designed based on the peptide motif;
- e) selecting from the second library at least one non-peptide compound that binds to the target; and
- f) determining the structure or structures of the at least one non-peptide compound that binds to the target by tandem mass spectrometry;

thereby identifying a compound that binds to the target.

- 24. \ A compound identified by the method of claim 1.
- 25. The compound of claim 24, which is a peptidomimetic.
- 26. The compound of claim 24, which binds to the target with a binding affinity of at least about 10⁷ M
- 27. The compound of claim 24, which binds to the target with a binding affinity of at least about 10-8 M.
 - 28. The compound of claim 24, which binds to the target with a binding affinity of at least about 10-9 M.

1

1Q

5

15

20

25

-

30

35

. . . 3h

- 29. A library comprising a multiplicity of non-peptide compounds designed based on a peptide motif, wherein the peptide motif is determined by selecting from a peptide library at least one peptide that binds to a target, determining the sequence or sequences of the at least one peptide that binds to the target and determining a peptide motif.
- 30. The library of claim 29, wherein library comprises at least one peptidomimetic.
- 31. The library of claim 29, wherein the library comprises at least about 10² non-peptide compounds.
- 32. The library of claim 29 wherein the library comprises at least about 10⁴ non-peptide compounds.
- 33. The library of claim 29, wherein the library comprises at least about 10⁶ non-peptide compounds.
- 34. The library of claim 29, wherein the multiplicity of non-peptide compounds are attached to a solid support.

10

5